

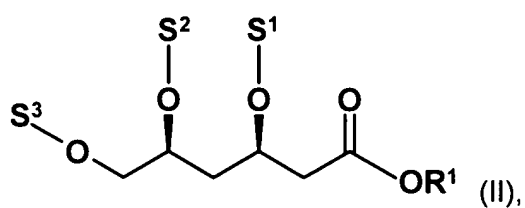
Patent claims:

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1. Process for the preparation of a statin, comprising the following steps:

a) Preparation of a compound of the formula II

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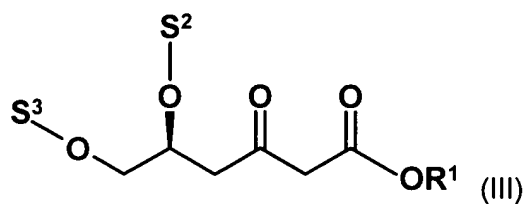


in which

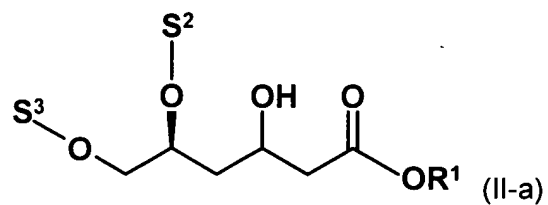
S¹ denotes a hydrogen atom or a hydroxyl protective group,S² and S³, independently of one another, denote hydroxyl protective groups and15 R¹ represents a hydrogen atom or a carboxyl protective group,

by stereoselective hydrogenation of a compound of the formula III

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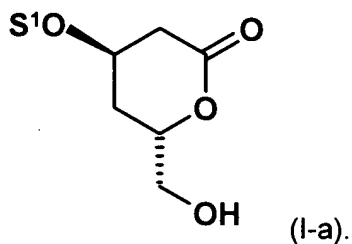


to give a compound of the formula II-a



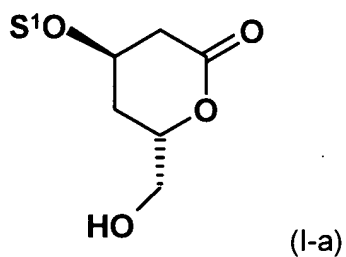
and optionally introduction of a hydroxyl protective group and

- b) lactonization of the compound of the formula II to give a compound of the formula I-a



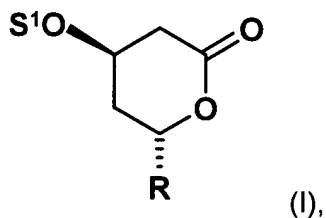
- 5 2. Process according to Claim 1, comprising the further step

- c) conversion of the compound of the formula I-a



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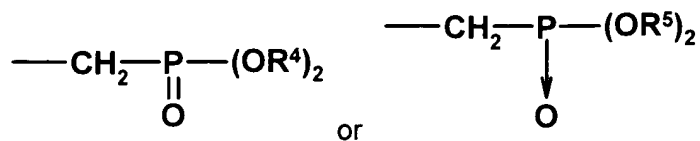
into a compound of the formula I



- 15 where the radical

S¹ is as defined in Claim 1,

R denotes $-\text{CH}_2\text{R}^2$, $-\text{CHO}$, $-\text{CH}=\text{P}(\text{R}^3)_3$, $-\text{CH}_2-\text{P}^+(\text{R}^3)_3\text{M}^-$,



R² denotes a halogen atom, $-\text{C}\equiv\text{N}$, $-\text{CH}_2\text{NH}_2$, $-\text{SO}_2\text{-R}^6$ or a leaving group,

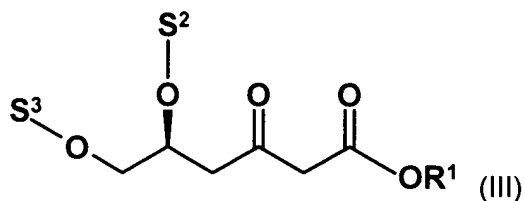
R^3 , R^4 and R^5 complete a Wittig radical or a Horner-Wittig radical,

R^6 denotes a hydrogen atom or a C_{1-3} -alkyl or a C_{5-10} -aryl radical, which are optionally substituted by one or more radicals which, independently of one another, are selected from halogen atoms, heterocycles which contain 0 to 10 carbon atoms and 1 to 10 heteroatoms selected from sulphur, nitrogen and oxygen atoms, and functional groups and

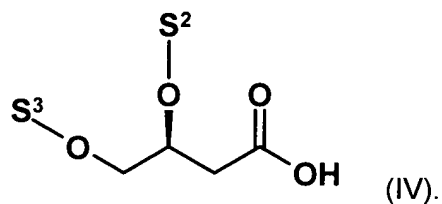
M^+ represents an opposite ion.

3. Process according to Claim 1 or 2, comprising the step:

preparation of a compound of the formula III

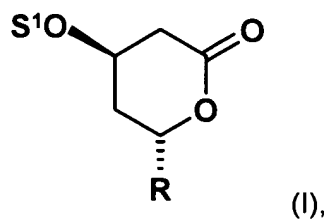


by chain extension of a compound of the formula IV



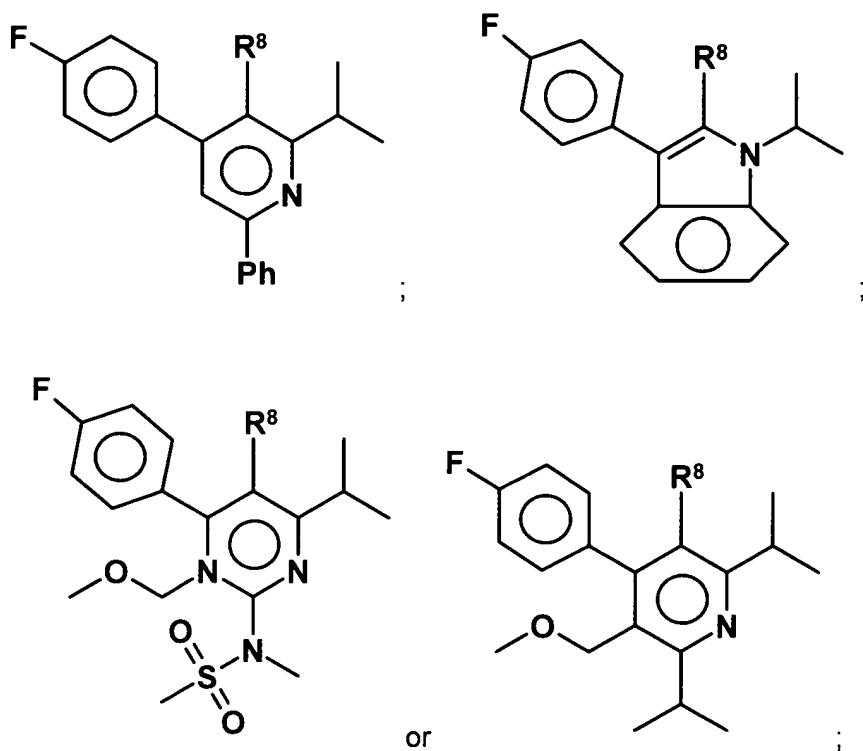
4. Process according to any of Claims 1 to 3, the compound of the formula I being converted into the statin by one of the following process steps and then optionally by opening of the lactone ring and optionally by removal of protective groups:

a) reaction of a compound of the formula (I)



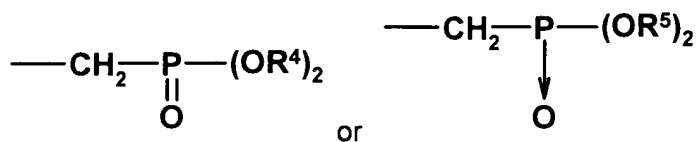
in which the radical R represents a CHO group and the radical S¹ is as defined in Claim 1,

5 with a compound of the formula



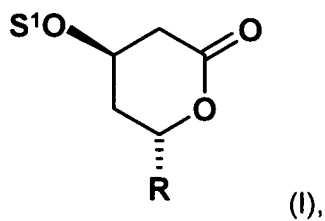
in which

R⁸ denotes -CH=P(R³)₃, -CH₂-P⁺(R³)₃M⁻,



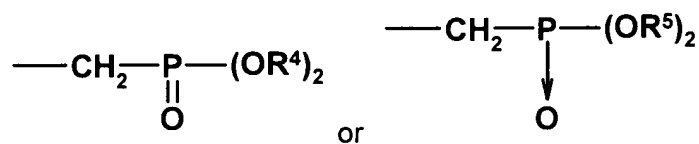
15 where R³, R⁴, R⁵ and M are as defined in Claim 1,

b) reaction of a compound of the formula I



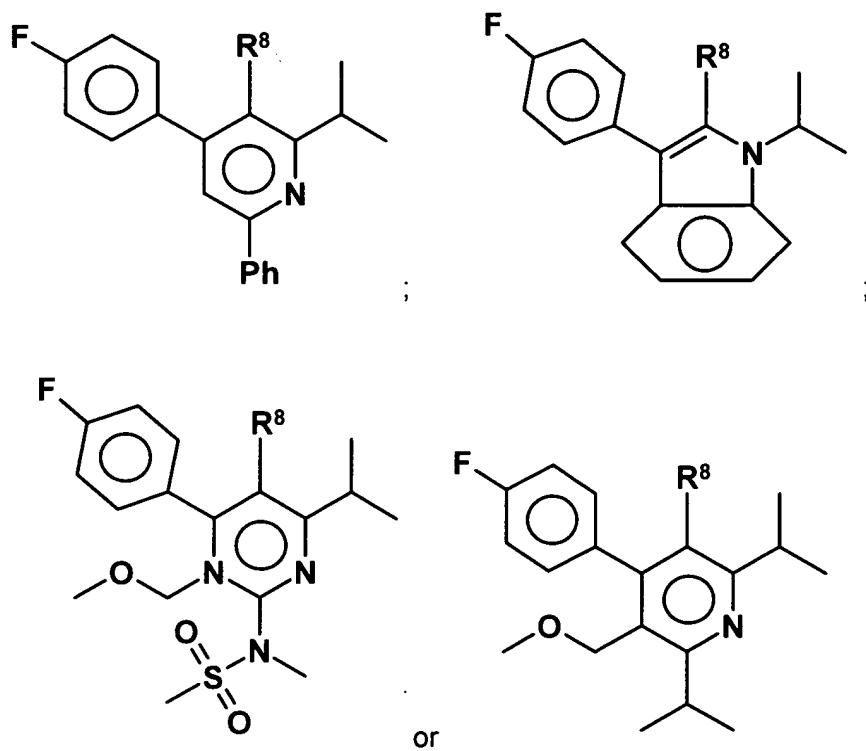
5 in which

the radical R denotes $-\text{CH}=\text{P}(\text{R}^3)_3$, $-\text{CH}_2-\text{P}^+(\text{R}^3)_3\text{M}^-$,



with a compound of the formula

10

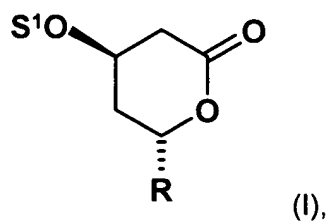


in which

15 R^8 denotes $-\text{CHO}$,

where R^3 , R^4 , R^5 and M are as defined in Claim 1,

c) reaction of a compound of the formula I

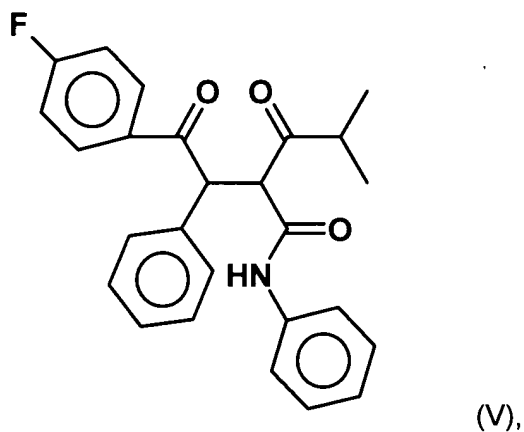


5 in which
the radical R is a group $-\text{CH}_2-\text{C}\equiv\text{N}$,

Hydrogenation of the compound of the formula I in which the radical R is a group $-\text{CH}_2-\text{C}\equiv\text{N}$, to
give a compound of the formula I in which the radical R is a group $-\text{CH}_2-\text{CH}_2\text{NH}_2$,

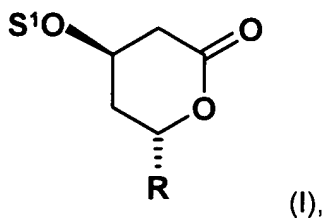
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and reaction of the compound of the formula I in which the radical R is a group $-\text{CH}_2-\text{CH}_2\text{NH}_2$
with a compound of the formula V



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d) hydrogenation of a compound of the formula I

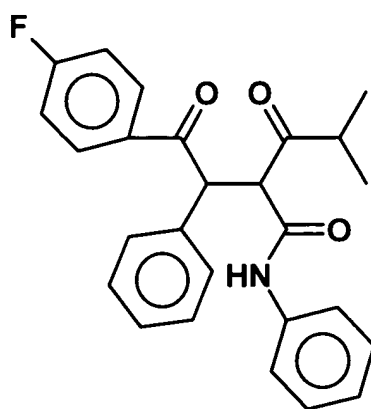


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in which

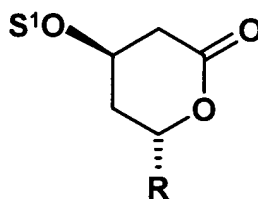
the radical R is a group $-\text{CH}_2-\text{C}\equiv\text{N}$, to give a compound of the formula I in which the radical R is a group $-\text{CH}_2-\text{CH}_2\text{NH}_2$,

- 5 and reaction of the compound of the formula I in which the radical R is a group $-\text{CH}_2-\text{CH}_2\text{NH}_2$ with a compound of the formula V



(V),

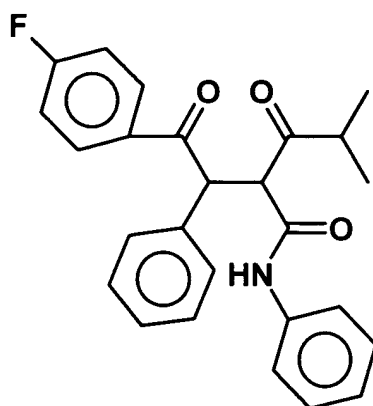
- 10 e) reaction of a compound of the formula (I)



(I),

in which

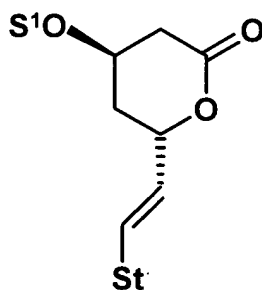
- 15 the radical R is a group $-\text{CH}_2-\text{CH}_2\text{NH}_2$, with a compound of the formula V



(V).

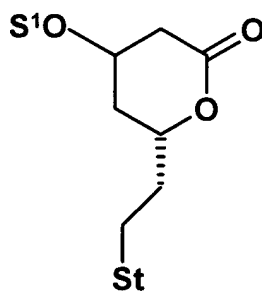
5. Process according any of Claims 1 to 4, characterized in that a compound of the formula

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in which S¹ is as defined in Claim 1 and St represents the radical of the statin, is converted into a compound of the formula

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by catalytic hydrogenation, and optionally the protective group S¹ is removed and optionally the lactone ring is opened.

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6. Process according to any of Claims 1 to 5, the hydroxyl protective group S^1 being selected from a trimethylsilyl, triisopropylsilyl, trimethylsilylethyl, tert-butyldimethylsilyl, tert-butylmethylsilyl, di-tert-butylmethylsilyl, tert-butyldiphenylsilyl, triphenylsilyl, diphenylmethylsilyl, tris(trimethylsilyl) and para-tosyl protective group.

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7. Process according to any of Claims 1 to 6, the protective groups S^2 and S^3 being bridged.

8. Process according to Claim 7, the protective groups S^2 and S^3 together representing an isopropylidene protective group.

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9. Process according to any of Claims 2 to 7, the radical R representing a radical CH_2R^2 and R^2 representing a leaving group, the leaving group being selected from a halogen atom and a radical $-OSO_2-C_1-C_6$ -alkyl or $-OSO_2-C_5-C_{10}$ -aryl.

15

10. Process according to any of Claims 1 to 9, the radical R^1 denoting a hydrogen atom or a C_{1-3} -alkyl or C_{4-10} -aryl radical, which are optionally substituted by one or more radicals, which, independently of one another, are selected from halogen atoms, heterocycles which have 0 to 10 carbon atoms and 1 to 10 heteroatoms selected from sulphur, nitrogen and oxygen atoms, and functional groups.

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11. Process according to any of Claims 1 to 10,

R^3 denoting a C_5 - to C_{10} -aryl radical which is optionally substituted by one or two C_1-C_4 -alkyl radicals and/or halogen atoms, a C_1-C_4 -alkyl radical or a C_5-C_{10} -cycloalkyl radical,

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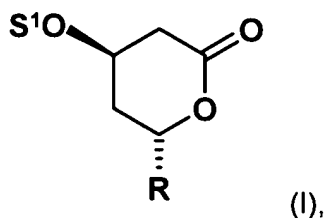
R^4 denoting a C_1-C_4 -alkyl radical,

R^5 denoting a C_1-C_6 -alkyl or C_5-C_{10} -aryl radical.

12. Process according to any of Claims 1 to 11, the statin being fluvastatin, rosuvastatin, cerivastatin, glenvastatin or atorvastatin.

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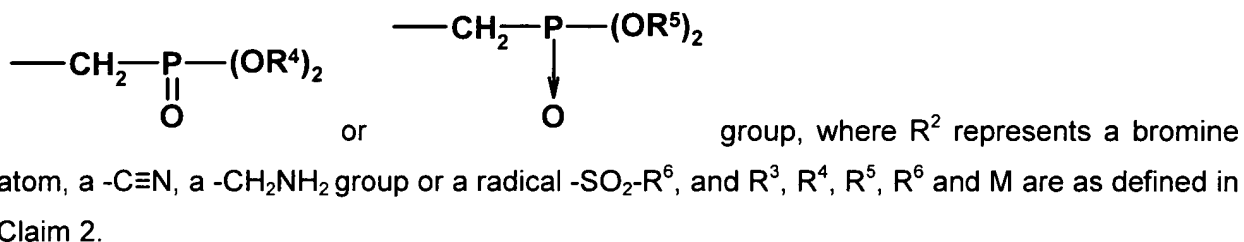
13. Compound of the formula I



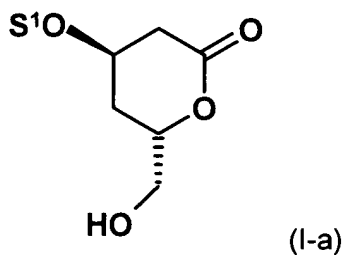
in which

S¹ and R are as defined in Claim 2, with the proviso that the radical S¹ does not represent a tert-butyldimethylsilyl group if the radical R represents a CHO, -CH₂-OTos, -CH₂Cl or -CH₂I group.

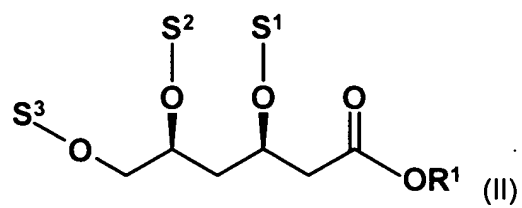
14. Compound according to Claim 13, in which the radical S¹ represents a tert-butyldimethylsilyl group and the radical R represents a -CH₂R², -CH=P(R³)₃, -CH₂-P⁺(R³)₃M⁻,



15. Process for the preparation of a compound of a formula (I-a)



in which the radical S¹ is as defined in Claim 1, characterized in that a compound of the formula



in which

S¹, S², S³ and R¹ are as defined in Claim 1, is converted into the compound of the formula I-a

5 by lactonization.